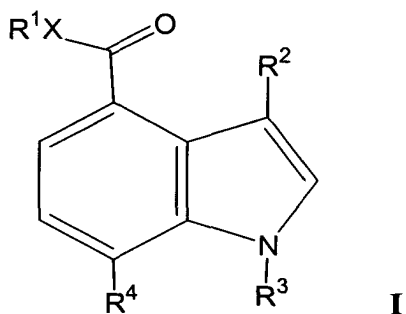


WHAT IS CLAIMED IS:

1. A compound having the formula



wherein:

R^1 is a member selected from the group consisting of hydrogen, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted aryl, and optionally substituted heteroaryl;

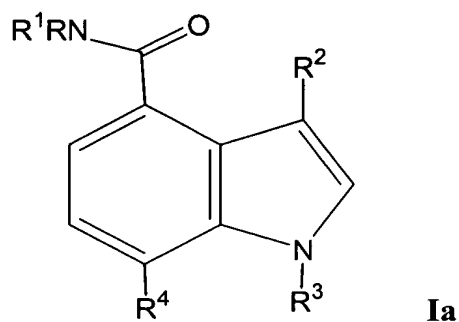
R^2 is a member selected from the group consisting of hydrogen, halogen, optionally substituted alkylamino, and $C(O)-R^5$, wherein R^5 is a member selected from the group consisting of optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted aryl, and optionally substituted heteroaryl;

R^3 is a member selected from the group consisting of hydrogen, and optionally substituted alkyl;

R^4 is a member selected from the group consisting of halogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, and $S(O)_n-R^6$, wherein R^6 is a member selected from the group consisting of optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted aryl, and optionally substituted heteroaryl, wherein n is 1, 2 or 3; and

X is a heteroatom selected from the group consisting of O and NR, wherein R is a member selected from the group consisting of hydrogen and optionally substituted alkyl, or, alternatively, R and R^2 together with the atoms to which they are attached, join to form an optionally substituted 5-, 6- or 7-membered heterocyclic ring.

2. The compound of claim 1, said compound having the formula:



wherein:

R is a member selected from the group consisting of hydrogen and optionally substituted alkyl;

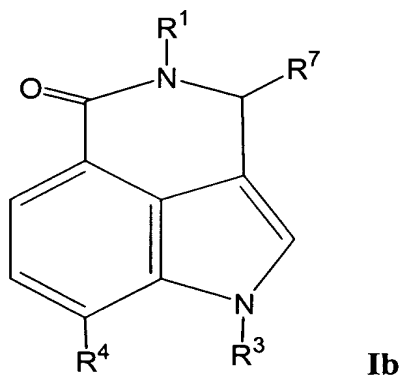
R¹ is a member selected from the group consisting of hydrogen, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted aryl, and optionally substituted heteroaryl;

R² is a member selected from the group consisting of hydrogen, halogen, optionally substituted alkylamino, and C(O)-R⁵, wherein R⁵ is a member selected from the group consisting of optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted aryl, and optionally substituted heteroaryl;

R³ is a member selected from the group consisting of hydrogen, and optionally substituted alkyl; and

R⁴ is a member selected from the group consisting of halogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, and S(O)_n-R⁶, wherein R⁶ is a member selected from the group consisting of optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted aryl, and optionally substituted heteroaryl, wherein n is 1, 2 or 3.

3. The compound of claim 1, said compound having the formula:



wherein:

R^1 is a member selected from the group consisting of hydrogen, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted aryl, and optionally substituted heteroaryl;

R^3 is a member selected from the group consisting of hydrogen, and optionally substituted alkyl;

R^4 is a member selected from the group consisting of halogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, and $S(O)_n-R^6$, wherein R^6 is a member selected from the group consisting of optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted aryl, and optionally substituted heteroaryl, wherein n is 1, 2 or 3; and

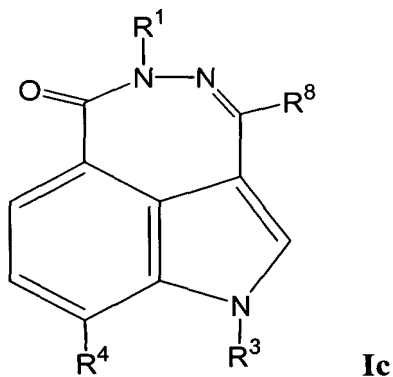
R^7 is a member selected from the group consisting of hydrogen, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted aryl, and optionally substituted heteroaryl.

4. The compound of claim 3, wherein:

R^7 is hydrogen; and

R^1 is optionally substituted alkyl.

5. The compound of claim 1, said compound having the formula:



wherein:

R^1 is a member selected from the group consisting of hydrogen, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted aryl, optionally substituted hydrazino, and optionally substituted heteroaryl;

R^3 is a member selected from the group consisting of hydrogen, and optionally substituted alkyl;

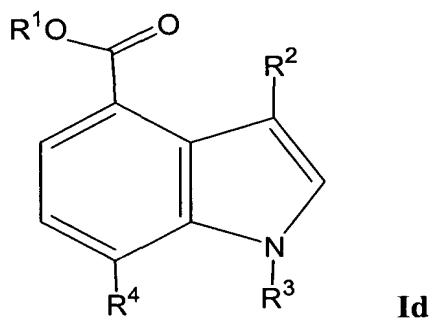
R^4 is a member selected from the group consisting of halogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, and $S(O)_n-R^6$, wherein R^6 is a member selected from the group consisting of optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted aryl, and optionally substituted heteroaryl, wherein n is 1, 2 or 3; and

R^8 is a member selected from the group consisting of hydrogen, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted aryl, and optionally substituted heteroaryl.

6. The compound of claim 5, wherein:

R^1 and R^8 are both hydrogen.

7. The compound of claim 1, said compound having the formula:



R^1 is a member selected from the group consisting of hydrogen, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted aryl, and optionally substituted heteroaryl;

R^2 is a member selected from the group consisting of hydrogen, halogen, and $C(O)-R^5$, wherein R^5 is a member selected from the group consisting of optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted aryl, and optionally substituted heteroaryl;

R^3 is a member selected from the group consisting of hydrogen, and optionally substituted alkyl; and

R^4 is a member selected from the group consisting of halogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, and $S(O)_n-R^6$, wherein R^6 is a member

15 selected from the group consisting of optionally substituted alkyl, optionally substituted
16 cycloalkyl, optionally substituted aryl, and optionally substituted heteroaryl, wherein n is 1, 2
17 or 3.

1 8. The compound of claim 7, wherein:

2 R^1 is a member selected from the group consisting of optionally substituted
3 C_1 - C_6 alkyl, and optionally substituted C_5 - C_6 cycloalkyl;

4 R^2 is a hydrogen; and

5 R^4 is a member selected from the group consisting of halogen, and $S(O)_n-R^6$,
6 wherein R^6 is an optionally substituted alkyl, wherein n is 1 or 2.

1 9. The compound of claim 8, wherein:

2 R^1 is C_1 - C_6 alkyl;

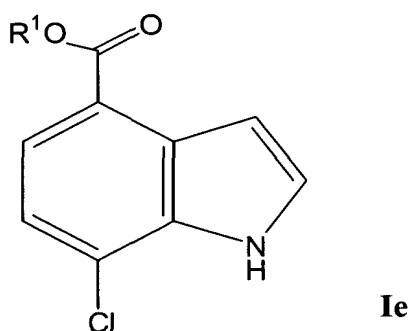
3 R^2 is a hydrogen; and

4 R^4 is a halogen, wherein n is 1 or 2.

1 10. The compound of claim 9, wherein:

2 R^1 is a member selected from the group consisting of methyl, ethyl, propyl and
3 butyl.

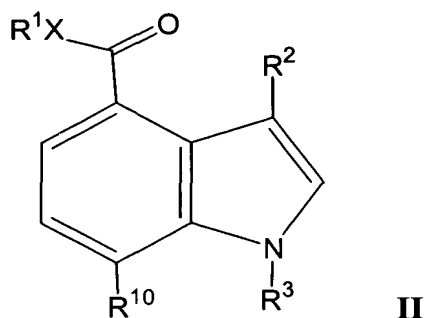
1 11. The compound of claim 7, said compound having the formula:



2 wherein R^1 is a member selected from the group consisting of methyl, ethyl,
3 propyl and butyl.

1 12. The compound of claim 11, wherein: R^1 is methyl.

1 13. A method of making a compound having the formula:



wherein:

R^1 is a member selected from the group consisting of hydrogen, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted aryl, and optionally substituted heteroaryl;

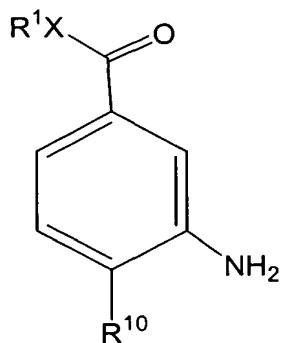
R^2 is a member selected from the group consisting of hydrogen, halogen, optionally substituted alkylamino, and $C(O)-R^5$, wherein R^5 is a member selected from the group consisting of optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted aryl, and optionally substituted heteroaryl;

R^3 is a member selected from the group consisting of hydrogen, and optionally substituted alkyl;

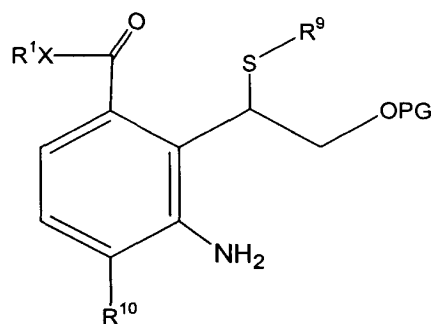
R^{10} is a member selected from the group consisting of halogen, and $S(O)_n-R^6$, wherein R^6 is a member selected from the group consisting of optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted aryl, and optionally substituted heteroaryl, wherein n is 1, 2 or 3; and

X is a heteroatom selected from O and NR, wherein R is a member selected from the group consisting of hydrogen and optionally substituted alkyl, or, alternatively, R and R^2 together with the atoms to which they are attached, join to form an optionally substituted 5-, 6- or 7-membered heterocyclic ring, said method comprising:

reacting a compound having the formula:



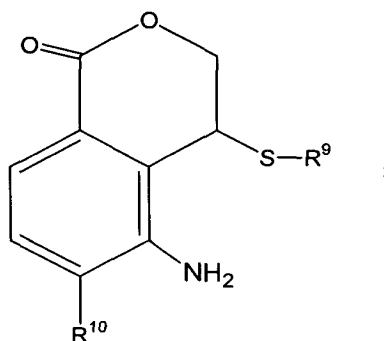
23 with a sulfide to form a compound having a sulfide functionality of the formula



24 **IIb**

25 wherein R^9 is a member selected from the group consisting of optionally
26 substituted alkyl, optionally substituted cycloalkyl, optionally substituted aryl, and optionally
27 substituted heteroaryl; and PG is a protective group;

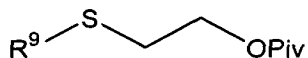
28 cleaving said protecting group (PG) to form a compound having the formula:



29 **IIc**

30
31 protecting the primary amine to form a protected amine; and
32 eliminating said sulfide functional group and subsequent alcoholysis to
33 generate a compound of Formula II.

1 **14.** The method of claim 13, wherein said sulfide has the formula:



2 **IIId**

3 wherein said sulfide functional group is activated using SO_2Cl_2 , and said
4 sulfide functional group is coupled to a compound of Formula II at low temperature in the
5 presence of collidine.

1 **15.** The method of claim 14, wherein cleavage of the pivalate ester of the
2 compound of Formula IIb is effectuated using NaOMe in MeOH with subsequent cyclization
3 to form a compound of Formula IIc.

1 **16.** The method of claim 13, wherein said compound of Formula IIc is
2 oxidized to form a diastereomeric mixture of sulfoxides to eliminated a sulfinic acid.

1 **17.** The method of claim 13, wherein:

2 R^1 is a member selected from the group consisting of optionally substituted
3 C_1 - C_6 alkyl, and optionally substituted C_5 - C_6 cycloalkyl;

4 R^2 is a hydrogen; and

5 R^4 is a member selected from the group consisting of halogen, and $S(O)_n-R^6$,
6 wherein R^6 is an optionally substituted alkyl, wherein n is 1 or 2.

1 **18.** The method of claim 17, wherein:

2 R^1 is C_1 - C_6 alkyl;

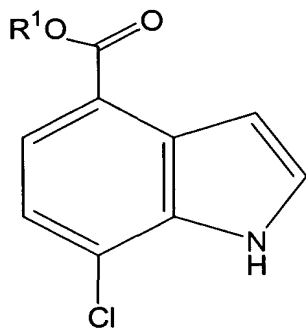
3 R^2 is a hydrogen;

4 R^4 is a halogen, wherein n is 1 or 2.

1 **19.** The method of claim 18, wherein:

2 R^1 is a member selected from the group consisting of methyl, ethyl, propyl and
3 butyl.

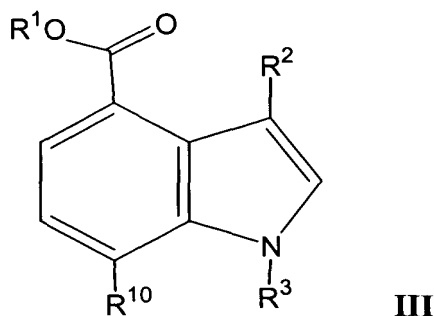
1 **20.** The method of claim 13, wherein said compound of Formula II has the
2 formula:



IIc

3 wherein R^1 is a member selected from the group consisting of methyl, ethyl,
4 propyl and butyl.
5

protecting the primary amine to form a protected amine; and
eliminating said sulfide functional group and subsequent alcoholysis to
generate a pharmacophore scaffold with leaving groups at positions 4 and 7 of the indole ring
to generate a compound having a formula:



wherein:

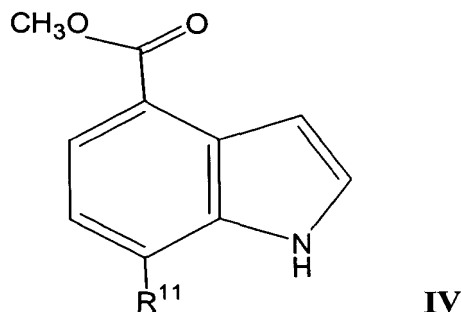
R^1 is a member selected from the group consisting of optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted aryl, and optionally substituted heteroaryl;

R^2 is a member selected from the group consisting of hydrogen, halogen, and $C(O)-R^5$, wherein R^5 is a member selected from the group consisting of optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted aryl, and optionally substituted heteroaryl;

R^3 is a member selected from the group consisting of hydrogen, and optionally substituted alkyl; and

R^{10} is a member selected from the group consisting of halogen, and $S(O)_n-R^6$, wherein R^6 is a member selected from the group consisting of optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted aryl, and optionally substituted heteroaryl, wherein n is 1, 2 or 3.

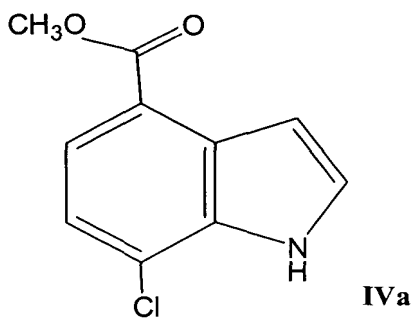
23. A method for making a compound having the formula:



wherein:

R^{II} is a member selected from the group consisting of optionally substituted alkenyl, optionally substituted aryl, and optionally substituted heteroaryl, said method comprising:

reacting a compound having the formula



with an sp^2 - sp^2 C-C bond coupling group in the presence of a Pd catalyst, to generate said compound of Formula IV.

24. The method of claim 23, wherein said sp^2 - sp^2 C-C bond coupling group is an aryl boronic acid moiety.